CLAIMS:

5

10

15

20

25

1. A compound having the structure of Formula I

R - T - W - X C N - B - N A O Z C N - B - N A O R1

FORMULA I

and its pharmaceutically acceptable salts, enantiomers, diastearomers, Novides, prodrugs or metabolites, wherein

T is five to seven membered heterocyclic ring, aryl, substituted aryl, bound to the ring C with a linker W and the heterocyclic and aryl rings are further substituted by a group represented by R,

wherein R is selected from the group consisting of alkyl (C_{1-6}), halogen–CN, COR_5 , $COOR_5$, $N(R_6,R_7)$, CON (R_6 , R_7), CH_2NO_2 , NO_2 , CH_2R_8 , CHR_9 , $-CH = N-OR_{10}$, $-C=CH-R_5$, wherein R_5 is selected from the group consisting of H, optionally substituted C_1-C_{12} , alkyl, C_{3-12} , cycloalkyl, aryl, heteroaryl; R_6 and R_7 are independently selected from the group consisting of H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy; R_8 and R_9 are independently selected from the group consisting of H, C_{1-6} alkyl, F, Cl, Br, C_{1-12} alkyl substituted with one or more of F, Cl, Br, I, C_{1-12} alkyl substituted with one or more of H, C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl substituted with one or more F, Cl, Br, I or

15

20

OH and R_6 and R_7 are the same as defined earlier, R_{10} is selected from the group consisting of H, optionally substituted from H, optionally substituted C_{1-12} alkyl, C_{3-512} cycloalkyl, C_{1-6} , alkoxy, C_{1-6} alkyl, aryl, heteroaryl;

n is an integer in the range from 0 to 3;

5 X is CH, CH-S, CH-O and N

Y and Z are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl, C_{0-3} bridging group;

U and V are independently selected from the group consisting of optionally substituted C_{1-6} alkyl, F, Cl, Br, C_{1-12} alkyl substituted with one or more of F, Cl, Br, I, preferably U and V are hydrogen or fluoro;

W is selected from the group CH_2 , CO, CH_2NH , $-NHCH_2$, $-CH_2NHCH_2$, $-CH_2-N$ (R_{11}) CH_2 -, -CO-CO-, CH_2 (R_{11}) N -, CH (R_{11}), S, CH_2 (CO), N (R_{11}) wherein R_{11} is hydrogen, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl or heteroaryl;

 R_1 is selected from the group consisting of - NHC(=O) R_2 wherein R_2 is hydrogen, C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl substituted with one or more of F, Cl, Br, I or OH; N(R_3 , R_4); -NR₂C(=S) R_3 ; - NR₂C(=S)SR₃ wherein R_2 is the same as defined above and R_3 and R_4 are independently selected from the group consisting of H, C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl substituted with one or more of F, Cl, Br, I or OH.

25

5

2. A compound having structure of Formula II

10 FORMULA II

and its pharmaceutically acceptable salts, enantiomers, diastearomers, Novides, prodrugs or metabolites wherein

M=0, S, NH, N-CH₃;

15 X is CH, CH-S, CH-O and N;

Y and Z are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl, C_{0-3} bridging group;

U and **V** are independently selected from the group consisting of optionally substituted C_{1-6} alkyl, F, Cl, Br, C_{1-12} alkyl substituted with one or more of F, Cl, Br, I, preferably U and V are hydrogen or fluoro;

W is selected from the group consisting of CH_2 , CO, CH_2NH , -NHCH₂, -CH₂NHCH₂, -CH₂-N (R₁₁) CH₂ - , CH₂ (R11) N -, CH (R₁₁) , S, CH₂(CO), NH wherein R₁₁ is optionally substituted with C ₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C ₁₋₆ alkyl , aryl , heteroaryl except when M=S, Q=P=H, W=(C=O);

n is an integer in the range from 0 to 3; and,

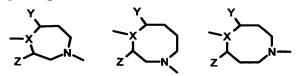
10

15

20

25

Q and P are independently selected from the group consisting of -CN, COR₅, COOR₅, N (R₆, R₇), CON (R₆,R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH=N-OR₁₀, C=CH-R₅, wherein R₅ is selected from the group consisting of H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, aryl, heteroaryl; R₆ and R₇ are independently selected from the group consisting of H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy; R₈ and R₉ are independently selected from the group consisting of H, C_{1-6} alkyl ,F, Cl, Br, C_{1-12} alkyl substituted with one or more of F, Cl, Br, I, OR₄, SR₄, wherein R₄ is selected from the group consisting of H, C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl substituted with one or more F, Cl, Br, I or OH, N(R₆, R₇), R₁₀ is selected from the group consisting of H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl, heteroaryl except W= (CO), Q and P =H and M=S, ring C in Formula II is 6-8 membered or of larger size and the larger rings have either two or three carbons between each nitrogen atom, comprising of



and may be bridged to form a bicyclic system as shown below,

$$-x$$
 $-x$ $-x$ $-x$ $-x$

ring C is optionally substituted by Y and Z with alkyl groups, cycloalkyl groups, fluoro group, carboxylic and corresponding esters, amides, substituted alkyls or bridging alkyl groups are as shown below:

six membered ring C with $X = -CH-(NR_{11})$, (wherein R_{11} is the same as defined earlier) is selected from the group consisting of the following rings;

$$-x$$
 $N -x$
 $N N N N-$

$$-x$$
 $(CH_2)n$
 $-x$
 $N N-$

of the first time the first time is a seen of the first time the seen of the first time time.

20

wherein M = Sulphur and Oxygen as shown by Formulae III and IV respectively,

Formula III

wherein P, Q, U, V, X, Y, Z, W and n in Formulae III and IV as defined earlier for Formula I.

- 25 3. A compound selected from the group consisting of
 - 1. (S)-N-[[3-[3-Fluoro-4-[N-1-[4-(2-furoyl) piperazinyl]]phenyl]-2-oxo-5-oxazolidinyl] methyl]acetamide
- 2. (S)-N-[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5formyl)methyl}]piperazinyl]phenyl]-2-oxo-5oxazolidinyl]methyl]acetamide

	3.	(S)-N-[[3-Fluoro-4-[N-1[4-(2-furyl-(5-
		carboxyethyl)methyl)piperazinyl]phenyl]-2-oxo-5-
		oxazolidinyl]methyl]acetamide
	4.	(S)-N-[[3-Fluoro-4-[N-1[4-(5-bromo-2-furoyl)]piperazinyl]phenyl]-2-oxo-
		5-oxazolidinyl] methyl]acetamide
	5.	(S)-N-[[3-Fluoro-4-[N-1[4-(5-chloromethyl-2-furoyl)piperazinyl]phenyl]-
		2-oxo-5-oxazolidinyl]methyl]acetamide
	6.	(S)-N-[[3-Fluoro-4-[N-1[4-(5-nitro-2-furoyl)piperazinyl]phenyl]-2-oxo-5-
		oxazolidinyl] methyl]acetamide
	7.	(S)-N[[3-[3-Fluoro-4-[N-1[4-{2-(2-
		thienyl)dicarbonyl}]piperazinyl]phenyl]2-oxo-5-
		oxazolidinyl]methyl]acetamide
	8.	(S)-N[[3-[3-Fluoro-4-[N-1[4-(3-furoyl)]piperazinyl]phenyl]2-oxo-5-
		oxazolidinyl]methyl] acetamide
	9.	(S)-N[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5-
		bromo)methyl}]piperazinyl]phenyl]2-oxo-5-
		oxazolidinyl]methyl]acetamide
	10.	(S)-N[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(5-
		chloro)methyl}]piperazinyl]phenyl]2-oxo-5-
		oxazolidinyl]methyl]acetamide
•	11.	(S)-N[[3-[3-Fluoro-4-[N-1[4-(2-furylmethyl)]piperazinyl]phenyl] 2-oxo-5-10-[N-1[4-(2-furylmethyl)]piperazinyl]phenyl] 2-oxo-5-10-[N-1[4-(2-furylmethyl)]phenyl] 2-oxo-5-10-[N-1[4-(2-furylmethyl)]phenyl] 2-oxo-5-10-[N-1[4-(2-furylmethyl)]phenyl] 2-oxo-5-10-[N-1[4-(2-furylmethyl)]phenyl] 2-oxo-5-[N-1[4-(2-furylmethyl)]phenyl]
		oxazolidinyl] methyl]acetamide
	12.	(S)-N-[[3-[3-Fluoro-4-[N-1[4-(2-thienylmethyl)]piperazinyl]phenyl]-2-
		oxo-5-oxazolidinyl]methyl]acetamide
	13.	(S)-N[[3-[3-Fluoro-4-[N-1[4-(2-thienylacetyl)]piperazinyl]phenyl]2-oxo-
		5-oxazolidinyl] methyl]acetamide
	14.	(S)-N-[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(4-bromo)methyl}]piperazinyl]
		phenyl]-2 oxo-5-oxazolidinyl]methyl]acetamide
	15.	(S)-N-[[3-[3-fluoro-4-[N-1-[4-{2-furyl-(5-
		nitro)methyl}]piperazinyl]phenyl]-2-oxo-5-
		oxazolidinyl]methyl]acetamide.

	16. Hydrochloric salt of (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-
	nitro)methyl}]piperazinyl] phenyl]-2-oxo-5-
	oxazolidinyl]methyl]acetamide
	17. Citrate salt of (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-
5	nitro)methyl}]piperazinyl] phenyl]-2-oxo-5-
	oxazolidinyl]methyl]acetamide
	18. (S)-N[[3-[3-Fluoro-4-[N-1[4-(2-pyrrolylmethyl)]piperazinyl]phenyl]2-
	oxo-5-oxazolidinyl]methyl]acetamide
	19. (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(3-
10	methyl)methyl}]piperazinyl]phenyl]2-oxo-5-
	oxazolidinyl]methyl]acetamide
	20. (S)-N[[3-[3-Fluoro-4-[N-1[4-(3-furylmethyl)]piperazinyl]phenyl]2-oxo-5-
	oxazolidinyl] methyl]acetamide
	21. (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(5-
15	methyl)methyl}]piperazinyl]phenyl]2-oxo-5-
	oxazolidinyl]methyl]acetamide
	22. (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-pyrrole(1-methyl)methyl}]piperazinyl]
	phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide
	23. (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(5-
20	nitro)methyl}]piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide
	24. (S)-N[[3-[3-Fluoro-4-[N-1[4-[2-furyl{5-(N-
	thiomorpholinyl)methyl]methyl]piperazinyl] phenyl]2-oxo-5-
	oxazolidinyl]methyl]acetamide
	25. (S)-N[[3-[3-Fluoro-4-[N-1[4-[2-furyl{5-(N-
25	morpholinyl)methyl]methyl]]piperazinyl] phenyl]2-oxo-5-
	oxazolidinyl]methyl]acetamide
	26. (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-acetoxymethyl)methyl}]piperazinyl]
	phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
	27. (S)-N-[[3-Fluoro-4-[N-1[4-{2-thienyl(5-
30	bromo)methyl}]piperazinyl]phenyl]-2-oxo-5-
	oxazolidinyl]methyl]acetamide
	28. (S)-N-[[3-Fluoro-4-[N-1[4-(5-nitro-2-furylmethyl)piperazinyl] phenyl]- 2-
	oxo oxazolidinyl]methyl]dichloroacetamide

10

15

20

25

30

29. (S)-N[[3-[3-Fluoro-4-[N-1[4-(5-nitro-2-thienoyl)]piperazinyl]phenyl]2-
oxo-5-oxazolidinyl]methyl]acetamide hydrochloride
30. (S)-N[[3-[3-Fluoro-4-[N-1[4-(2',2'- diphenyl-2' hydroxy acetyl
)]piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide
31. (S)-N-[[3-[3-Fluoro[4-[3-(1α,5α,6α)-6-[N-(5-nitro-2-furoyl)-N-
methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-
oxazolidinyl]methyl]acetamide
32. (S)-N-[[3-[3-Fluoro[4-[3-(1α ,5 α ,6 α)-6-[N-(3-furoyl)-N-methyl]amino]-3-
azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
33. (S)-N-[[3-[3-Fluoro[4-[3-(1α ,5 α ,6 α)-6-[N-(5-bromo -2-furoyl)-N-methyl]
amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]
methyl]acetamide
34. (S)-N-[[3-[3-Fluoro[4-[3-(1α ,5 α ,6 α)-6-[N-(5-nitro-2-thienylmethyl)-N-
methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-
oxazolidinyl]methyl]acetamide
35. (S)-N-[[3-[3-Fluoro[4-[3-(1α ,5 α ,6 α)-6-[N-(5-nitro-2-furylmethyl)-N-
methyl] amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]
methyl]acetamide
36. (S)-N-[[3-[3-Fluoro[4-[3-(1α ,5 α ,6 α)-6-[N-(5-formyl-2-furylmethyl)-N-
methyl] amino-methyl]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-
oxazolidinyl] methyl]acetamide
37. (S)-N-[[3-[3-Fluoro[4-[3-(1α ,5 α ,6 α)-6-[N-(5-carboxyethyl-2-
furylmethyl)-N-methyl] aminomethyl]-3-azabicyclo-
[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide
38. (S)-N-[[3-[3-Fluoro[4-[3-(1α,5α,6α)-6-[N-(2-thiopheneacetyl)-N-
methyl]aminomethyl]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-
oxazolidinyl]methyl]acetamide
39. (S)-N-[[3-[3-Fluoro[4-[3-(1α,5α,6α)-6-[N-(5-nitro-2-thienylmethyl)-N-

methyl]amino-methyl]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-

oxazolidinyl]methyl]acetamide

		methyl]amino-methyl]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-
		oxazolidinyl]methyl]acetamide
		41. (S)-N-[[3-[4-[4-(N-methyl-N-2furyl(5formyl)methylaminopiperidine-1-
5	5	yl]-3-fluorophenyl]-2-oxo-oxazolidin-5-yl]methyl]acetamide
		42. (S)-N-[[3-[4-[4-(N-methyl-N-(3,5-difluorobenzoyl)aminopiperidine-1-yl]-
		3-fluorophenyl]-2-oxo-oxazolidin-5-yl] methyl]acetamide.
		43. (S)-N-[[3-[4-[4-(N-methyl-N-(5-bromo-2-furoyl)aminopiperidine-1-yl]-3-
		fluorophenyl]-2-oxo-oxazolidin-5-yl] methyl]acetamide
	10	44. (S)-N-[[3-[4-[4-(N-methyl-N-(5-nitro-2-furoyl)aminopiperidine-1-yl]-3-
		fluorophenyl]-2-oxo-oxazolidin-5-yl]methyl]acetamide
		45. (S)-N-[[3-[4-[4-(N-methyl-N-3- furoyl)aminopiperidine-1-yl]-3-
		fluorophenyl]-2-oxo-oxazolidin-5-yl]methyl]acetamide.
		46. (S)-N-{3-[4-[4-(N-methyl, N- 2-furoyl)aminopiperidine-1-yl]-3-
15	15	fluorophenyl]-2-oxo-oxazolidin-5-yl methyl]acetamide
		47. (S)-N-{3-[4-[4-(N-methyl,2-thiopheneacetyl)aminopiperidine-1-yl]-3-
		fluorophenyl]-2-oxo oxazolidin-5-yl methyl]acetamide
		48. (S)-N-[[3-[4-[4-(N-methyl-N-2furylmethyl) aminopiperidine-1-yl]-3-
		fluorophenyl]-2-oxo-oxazolidin-5-yl]methyl]acetamide
	20	49. (S)-N-[[3-[4-[4-(N-methyl-N-3-furyl)aminopiperidine-1-yl]-3-
		fluorophenyl]-2-oxo-oxazolidin-5-yl] methyl]acetamide.
		50. (S)-N-[[3-[4-[4-(N-methyl-N-2-furyl(5-nitro)methyl)aminopiperidine-1-
		yl]-3-fluorophenyl]-2-oxo-oxazolidin-5-yl] methyl]acetamide.
		51. (S)-N-[[3-[4-[4-(N-methyl-N-2-thienyl(5-nitro)methyl)aminopiperidine-1-
25	25	yl]-3-fluorophenyl]-2-oxo-oxazolidin-5-yl] methyl]acetamide.
		52. (S)-N-[[3-[4-[4-(N-methyl-N-2-thienylmethyl)aminopiperidine-1-yl]-3-
		fluorophenyl]-2-oxo-oxazolidin-5-yl]methyl]acetamide.
		53. (S)-N-[[3-[4-[4-(N-methyl-N-(5-methyl-2-thienylmethyl)aminopiperidine
		1-yl]-3-fluorophenyl]-2-oxo-oxazolidin-5-yl] methyl]acetamide
	30	54. (S)-N-{3-[4-[4-(N-methyl,2-(5-bromo)thienylmethyl)aminopiperidine-1-
		yl]-3-fluorophenyl]-2-oxo-oxazolidin-5-yl methyl]acetamide

40. (S)-N-[[3-[3-Fluoro[4-[3-(1α ,5 α ,6 α)-6-[N-(5-nitro-2-furylmethyl)-N-

	formyl)methyl}]homopiperazinyl]phenyl]2-oxo-5-
	oxazolidinyl]methyl]acetamide
	56. (S)-N[[3-[3-Fluoro-4-[N-1[4-(2-thienylacetyl)]homopiperazinyl]phenyl]2-
5	oxo-5-oxazolidinyl]methyl]acetamide
	57. (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(5-
	nitro)methyl}]homopiperazinyl]phenyl]2-oxo-5-
	oxazolidinyl]methyl]acetamide
	58. (S)-N[[3-[3-Fluoro-4-[N-1[4-(3-furylmethyl)]homopiperazinyl]phenyl]2-
10	oxo-5-oxazolidinyl]methyl]acetamide
	59. Preparation of (S)-N-[[3-[3-fluoro-4-[N-1 {2-furyl-[4-(5-difluoromethyl)
	methyl}]piperazinyl]-2-oxo-5-oxazolidinyl]-methyl]acetamide.
	60. (S)-N-[[3-[3-Fluoro-4-[N-1-[4-(2-furyl-(5-aldoxime)methyl]] piperazinyl]
	phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
15	61. (S)-N-[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5-aldoxime(methyl-4-(N-
	carboxyaminophenyl acetate) methyl}]piperazinyl]phenyl]-2-oxo-5-
	oxazolidinyl]methyl]acetamide
	62. (S)-N-[[3-[3-Fluoro-4[N-1-[4-{2-furyl-(5-hydrazone)-methyl}]-
	piperazinyl]-phenyl]-2-oxo-5-oxazolidinyl]-methyl]acetamide
20	63. Preparation of (S)-N-[[3-[3-Fluoro-4-[N-1 {2-furyl-[4-(5-
	hydroxymethyl)methyl}] piperazinyl]-2-oxo-5-
	oxazolidinyl]methyl]acetamide
	64. (S)-N-[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5-cyano)methyl}]
	piperazinyl]phenyl] -2-oxo-5-oxazolidinyl]methyl]acetamide
25	65. (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-
	carboxy)methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]
	acetamide
	66. (S)-N-[[3-Fluoro-4-[N-1[5-(1,3-dioxane)-2-
•	furylmethyl]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide
30	67. (S)-N-[[3-Fluoro-4-[N-1[5-(formamido)-2-
	furylmethyl]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide
	68. (S)-N-[[3-Fluoro-4-[N-1[5-(morpholine-1-carbonyl)-2-
	furylmethyl]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide

55. (S)-N[[3-[3-Fluoro-4-[N-1[4- $\{2-furyl(5-x)\}]$]

10

15

25

- 69. (S)-N-[[3-Fluoro-4-[N-1[5-(4-(tert butoxy carbonyl)amino piperidine)-2-furylmethyl]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide
- 70. (S)-N-[[3-Fluoro-4-[N-1[4-{(Z)-2-methoxyimino-2-(2-furyl)acetyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
- 71. (S)-N-[[3-[3-Fluoro[4-[3-(1α,5α,6α)-6-[N-(2-thiopheneacetyl)-N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
- 72. (S)-N-[[3-[3-Fluoro[4-[3- $(1\alpha,5\alpha,6\alpha)$ -6-[N-(5-formyl-2-furylmethyl)-N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl] methyl]acetamide
- 73. (S)-N-[[3-[3-Fluoro[4-[3-(1α,5α,6α)-6-[N-(3-thienoyl)-N-methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
- 74. (S)-N-[[3-[3-fluoro-4-[N-1 {2-furyl-[4-(5-fluoromethyl) methyl}]piperazinyl]-2-oxo-5-oxazolidinyl]-methyl]acetamide.
- 4. A pharmaceutical composition comprising the compound of claims 1, 2, or 3 and a pharmaceutical acceptable carrier.
- 5. A pharmaceutical composition comprising a pharmaceutically effective
 20 amount of compound according to claims 1, 2, or 3, or a physiologically
 acceptable acid addition salt thereof with a pharmaceutical acceptable carrier
 for treating microbial infections.
 - 6. A method of treating or preventing microbial infections in a mammal comprising administering to the said mammal, the pharmaceutical composition according to claim 5.

10

15

20

7. A process for preparing a compound of Formula I

$$R - T - W - X C N - B - N A O$$

$$Z C N - C N - C N A O$$

$$R - T - W - X C N - C N A O$$

FORMULA I

and its pharmaceutically acceptable salts, enantiomers, diastearomers, Novides, prodrugs or metabolites, wherein

T is five to seven membered heterocyclic ring, aryl, substituted aryl, bound to the ring C with a linker w and the heterocyclic and aryl rings are further substituted by a group represented by R,

wherein R is selected from the group consisting of -CN, $\text{COR}_5, \text{COOR}_5$, $\text{N}(\text{R}_6,\text{R}_7)$, CON (R₆, R₇), CH_2NO_2 , NO_2 , CH_2R_8 , CHR_9 , $-\text{CH} = \text{N-OR}_{10}$, $-\text{C=CH-R}_5$, wherein R₅ is selected from the group consisting of H, optionally substituted C₁-C₁₂, alkyl, C₃₋₁₂, cycloalkyl, aryl, heteroaryl, R₆ and R₇, are independently selected from the group consisting of H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy; R₈ and R₉ are independently selected from the group consisting of H, C₁₋₆ alkyl, F, Cl, Br, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br, I, OR₄, SR₄, N(R₆,R₇) wherein R₄ is selected from the group consisting of H, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl substituted with one or more F, Cl, Br, I or OH and R₆ and R₇ are the same as defined earlier, R₁₀ is selected from the group consisting of

15

H, optionally substituted from H, optionally substituted C_{1-12} alkyl, C_{3-512} cycloalkyl, C_{1-6} , alkoxy, C_{1-6} alkyl, aryl, heteroaryl;

n is an integer in the range from 0 to 3;

X is CH, CH-S, CH-O and N;

Y and Z are independently selected from the group consisting of hydrogen,

C₁₋₆ alkyl, C₃₋₁₂ cycloalkyl, C₀₋₃ bridging group;

U and V are independently selected from the group consisting of optionally substituted C_{1-6} alkyl, F, Cl, Br, C_{1-12} alkyl substituted with one or more of F, Cl, Br, I, preferably U and V are hydrogen or fluoro;

W is selected from the group consisting of CH_2 , CO, CH_2NH , $-NHCH_2$, $-CH_2NHCH_2$, $-CH_2-N$ (R_{11}) CH_2 -, CH_2 (R_{11}) N-, CH (R_{11}), S, CH_2 (CO), NH wherein R_{11} is optionally substituted with C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl, heteroaryl; and

 R_1 is selected from the group consisting of - NHC(=O) R_2 wherein R_2 is hydrogen, C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl substituted with one or more of F, Cl, Br, I or OH; N(R_3 , R_4); -NR₂C(=S) R_3 : -NR₂C(=S)SR3 wherein R_2 is the same as defined above and R_3 and R_4 are independently selected from the group consisting of H, C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl substituted with one or more of F, Cl, Br, I or OH,

10

15

which comprises reacting an amine compound of Formula V

FORMULA V

with a heterocyclic compound of Formula R-T-W- R_{12} wherein G in amines of Formula V is defined as NH, CH(NHR₁₃), -CH-CH₂NHR₁₃ wherein R_{13} is H, ethyl, methyl, isopropyl,acetyl, cyclopropyl, alkoxy or acetyl and Y, Z, U, V, R_{1} , n, R, T and W are the same as defined earlier and R_{12} is a suitable leaving group selected from the group comprising of fluoro, chloro, bromo, SCH₃, -SO₂CH₃, -SO₂CF₃ or OC₆H₅.

- 8. A process for preparing a compound of Formula I as claimed in claim 7, wherein W=CH₂ and R-T-W-R₁₂ is a five membered heterocyclic ring with aldehyde group and the compound of Formula I is produced by reductive amination.
- A process for preparing a compound of Formula I as claimed in claim 7, wherein W = CO and R-T-W-R₁₂ is a five membered heterocyclic ring with carboxylic acid, and amino compound of Formula V is acylated with activated esters in presence of condensing agents comprising 1,3-dicyclohexylcarbodiimide (DCC) and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide (EDC).

10

15

20

10. A process for the preparation of compound of Formula II

FORMULA II

wherein

n is an integer in the range from 0 to 3;

X is CH, CH-S, CH-O and N;

Y and Z are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl, C_{0-3} bridging group;

U and V are independently selected from the group consisting of optionally substituted C_{1-6} alkyl, F, Cl, Br, C_{1-12} alkyl substituted with one or more of F, Cl, Br, I, preferably U and V are hydrogen or fluoro;

W is selected from the group consisting of CH_2 , CO, CH_2NH , $-NHCH_2$, $-CH_2NHCH_2$, $-CH_2-N$ (R_{11}) CH_2- , CH_2 (R_{11}) N-, CH (R_{11}), S, CH_2 (CO), NH wherein R_{11} is optionally substituted with C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl, heteroaryl; and

Q and P are independently selected from the group consisting of -CN, COR₅, COOR₅, N (R₆, R₇), CON (R₆,R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH=N-OR₁₀, C=CH-R₅, wherein R₅ is selected from the group consisting of H,

10

15

20

optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, aryl, heteroaryl; R_6 and R_7 are independently selected from the group consisting of H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy; R_8 and R_9 are independently selected from the group consisting of H, C_{1-6} alkyl,F, Cl, Br, C_{1-12} alkyl substituted with one or more of F, Cl, Br, I, OR_4 , SR_4 ,wherein R_4 is the same as defined before, $N(R_6, R_7)$, R_{10} is selected from the group consisting of H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl, heteroaryl except W=(CO), Q and P=H.

Ring C in Formula II is 6-8 membered or of larger size and the larger rings have either two or three carbons between each nitrogen atom, comprising of

$$-x$$
 z
 N
 z
 N
 N
 Z
 N
 N
 Z
 X
 Z
 X

and may be bridged to form a bicyclic system as shown below,

$$-x$$
 $-x$ $-x$ $-x$ $-x$ $-x$

ring C is optionally substituted by Y and Z with alkyl groups, cycloalkyl groups, fluoro group, carboxylic and corresponding esters, amides, substituted alkyls or bridging alkyl groups are as shown below:

six membered ring C with $X = -CH-(NHR_{11})$, (wherein R_{11} is the same as defined earlier) is selected from the group consisting of the following rings;

5

10

15

20

25

35

wherein M = Sulphur is shown by compounds of Formula III,

Q P C N B N A O NHCOCH₃

FORMULA III

10

15

20

wherein P, Q, U, V, X, Y, Z, W and n in Formula III are the same as previously defined, wherein the process comprising reacting a compound of Formula V

FORMULA V

with a compound of Formula VI

wherein P, Q, R_{12} , Y, Z, G, n, U and V are the same as defined earlier.

11. A process for preparing a compound of Formula II as claimed in claim 10, in a suitable solvent selected from the group consisting of dimethylformamide, dimethylacetamide, ethanol or ethylene glycol at a suitable temperature in the range of -70°C to 180°C in the presence of a suitable base selected from the group consisting of triethyl amine, diisopropyl amine, potassium carbonate and sodium bicarbonate.

10

15

20

- 12. A process of preparing a compound of Formula II as claimed in claim 10 wherein Formula VI is furalehyde and reductive alkylation of the amine of Formula V is performed with a reducing agent.
- 13. A process for preparing a compound of Formula II as claimed in claim 10 wherein Formula VI is furoic acid.
 - 14. A process for preparing a compound of Formula II as claimed in claim 10 wherein the compounds of Formula II having carbonyl link are prepared by reacting heteroaromatic compound of the Formula VI including N- methyl pyrrole with the intermediate amine of Formula V in the presence of triphosgene or phosgene and carbonyl linkers are introduced between heteroaromatic compound comprising reacting 3- bromothiophene and amine of Formula V with carbon monoxide and the catalyst is selected from the group consisting of Pd (PPh₃)₂Cl₂ and extended chain pyrroles having dicarbonyl linkers are obtained by treatment of oxalyl chloride and amine of the Formula V.
 - 15. A process for preparing a compound of Formula VIII

FORMULA VIII

wherein

10

15

20

n is an integer in the range from 0 to 3;

X is CH, CH-S, CH-O and N;

Y and Z are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl, C_{0-3} bridging group;

U and V are independently selected from the group consisting of optionally substituted C_{1-6} alkyl, F, Cl, Br, C_{1-12} alkyl substituted with one or more of F, Cl, Br, I, preferably U and V are hydrogen or fluoro;

W is selected from the group consisting of CH_2 , CO, CH_2NH , $-NHCH_2$, $-CH_2NHCH_2$, $-CH_2-N$ (R_{11}) CH_2 -, CH_2 (R_{11}) N-, CH (R_{11}), S, CH_2 (CO), NH wherein R_{11} is optionally substituted with C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl, heteroaryl;

Q and P are independently selected from the group consisting of -CN, COR₅, COOR₅, N (R₆, R₇), CON (R₆,R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH=N-OR₁₀, C=CH-R₅, wherein R₅ is selected from the group consisting of H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, aryl, heteroaryl; R₆ and R₇ are independently selected from the group consisting of H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy; R₈ and R₉ are independently selected from the group consisting of H, C_{1-6} alkyl,F, Cl, Br, C_{1-12} alkyl substituted with one or more of F, Cl, Br, I, OR₄, SR₄,wherein R₄ is the same as defined before, N(R₆, R₇), R₁₀ is selected from the group consisting of H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl, heteroaryl except W= (CO), Q and P=H;

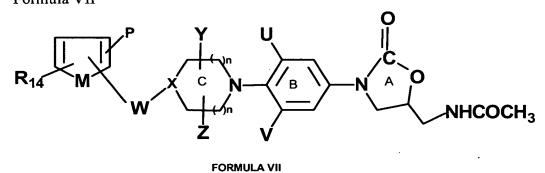
10

15

M = Sulphur is shown by compounds of Formula III

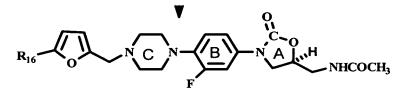
FORMULA III

and R_{15} is the same as Q defined earlier, comprising converting a compound of Formula VII



wherein in U, V, Y, Z, X, W, P, n and M are the same as defined earlier and are R_{14} is any group which can be converted to group R_{15} in one to five steps.

16. A process for preparing a compound of Formula XI



FORMULA XI

20 $(R_{16} = -CH_2F \text{ or } -CH_2F_2)$ by reacting a compound of Formula IX

with sodium borohydride to produce a compound of Formula X

FORMULA X

and further reacting this compound with diethylamino sulfurtrifluoride to produce compound of Formula XI.

10 17. A process for preparing a compound of Formula XII

FORMULA XII

wherein $R_{17} = \sum_{N=OH}$ which comprises reacting (S)-N-[[3-Fluoro-4-[N-15] 1[4-{2-furyl(5-formyl)methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]-methyl] acetamide of Formula IX

FORMULA IX

with hydroxylamine.

18. A process for preparing a compound of Formula XII

5

FORMULA XII

wherein $R_{17} = NH_2$ which comprises reacting (S)-N-[[3-[3-Fluoro-4[N-1-[4-{2-furyl-(5-hydrazone)-methyl}]-piperazinyl]-phenyl]-2-oxo-5-oxazolidinyl]-methyl]acetamide with hydrazine hydrate.

19. A process for preparing a compound of Formula XII

10

FORMULA XII

15

wherein $R_{17} = -CH_1 - CH_2 - CH_2 - CH_2 - CH_3 = -CH_2 - CH_3 - CH$

20. A process for preparing a compound of Formula XII

20

FORMULA XII

10

15

wherein R_{17} = CN which comprises reacting (S)-N-[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5-cyano)methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide with trifilic anhydride and triethylamine.

21. A process for preparing a compound of Formula XII

FORMULA XII

wherein R17 = $-cH_0$ which comprises reacting (S)-N-[[3-Fluoro-4-[N-1[5-(1,3-dioxane)-2-furylmethyl]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide with 1,3-propane diol and BF₃ etherate.

22. A process for the preparation of the compound of Formula XIV

FORMULA XIV

wherein
$$R_{18} = \frac{0}{C}$$

which comprises reacting (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-formyl)-methyl}] piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide of Formula IX

15

with Ag₂O to produce (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-carboxy)meth-yl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide of Formula XIII followed by reacting (S)-N-[[3-Fluoro-4-[N-1[4-(2-furyl- (5-carboxy-ethyl)methyl)piperazinyl] phenyl]- 2-oxo-5-oxazolidinyl]methyl] acetamide of

FORMULA XIII

with aqueous ammonia to produce Formula XIV.

23. A process for the preparation of the compound of Formula XIV

 $R_{18} = 0$ N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0 N = 0

FORMULA XIV

wherein $R_{18} = \sqrt{C_{N}}$

which comprises reacting (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-formyl)-methyl}] piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide of Formula IX

FORMULA IX

with Ag₂O to produce (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-carboxy)methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide of Formula XIII followed by reacting (S)-N-[[3-Fluoro-4-[N-1[4-(2-furyl- (5-carboxy-ethyl)methyl)piperazinyl] phenyl]- 2-oxo-5-oxazolidinyl]methyl] acetamide of Formula XIII

HO NC N B NA L. H NHCOCH

FORMULA XIII

with thionyl chloride to produce Formula XIV.

15 24. A process for the preparation of the compound of Formula XIV

$$R_{18} = 0$$

$$N = 0$$

FORMULA XIV

wherein $R_{18} = {\overset{\square}{C}}_{N} \longrightarrow NHBOO$

which comprises reacting (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-formyl)-methyl}] piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide of Formula IX

FORMULA IX

with Ag₂O to produce (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-carboxy)methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide of Formula XIII followed by reacting (S)-N-[[3-Fluoro-4-[N-1[4-(2-furyl- (5-carboxy-ethyl)methyl)piperazinyl] phenyl]- 2-oxo-5-oxazolidinyl]methyl] acetamide of Formula XIII

HO N C N B N A N H NHCOCH

FORMULA XIII

with morpholine in the presence of oxalyl chloride to produce Formula XIV.